

10/517,847

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEEDLINE reloaded with enhancements
NEWS	9	FEB 06	STN Express, Version 6.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFTREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LDPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN Anavist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WEINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRSEARCH reloaded with enhancements
NEWS	23	MAY 30	INSPAFAMOB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option

NEWS EXPRESS FEBRUARY 06 CURRENT WINDOWS VERSION IS V6.3,

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AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 20:21:59 ON 31 MAY 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 20:22:36 ON 31 MAY 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 MAY 2008 HIGHEST HN 1024110-44-8

DICTIONARY FILE UPDATES: 30 MAY 2008 HIGHEST RN 1024110-44-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10517847.str

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```
chain nodes :
6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25
26 27 28
ring nodes :
1 2 3 4 5
chain bonds :
3-18 4-6 5-7 7-8 8-9 9-10 10-11 11-12 12-13 12-15 13-14 15-16
16-17 18-19 18-20 19-21 21-22 22-23 23-24 24-25 24-26 25-27 27-28
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 3-18 7-8 8-9 10-11 11-12 12-13 12-15 13-14
15-16 16-17 16-19 18-20 19-21 22-23 23-24 24-25 24-26 25-27
exact bonds :
4-5 4-6 5-7 9-10 21-22 27-28
isolated ring systems :
containing 1 :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
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LI        SSTRUCTURE UPLOADED

=> 9 11

SAMPLE SEARCH INITIATED 20:22:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 fol

FULL SEARCH INITIATED 20:23:04 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
178.36	178.57

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 20:23:15 ON 31 MAY 2008

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FILE COVERS 1907 - 31 May 2008 VOL 148 ISS 23

FILE LAST UPDATED: 30 May 2008 (20080530/ED)

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=> s l3

L4 1 L3

=> d l4 abab hitstr abs

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACE on STN  
 ACCESSION NUMBER: 2003:1006959 CAPLUS  
 DOCUMENT NUMBER: 140:42180  
 TITLE: Preparation of nitrogenous heterocycle prodrugs  
 having  
 N-(2-acyloxyethyl)-N-methylcarbamoyl groups  
 INVENTOR(S): Kamiyama, Keiji; Banno, Hisashi; Sato, Fuminiko;  
 Sasuoka, Aetsuka  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106429	A1	20031224	WO 2003-JF7545	20030613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BF, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GN, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LF, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, T2, UA, US, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, BW, AM, AZ, BY, EG, FZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469470	A1	20031224	CA 2003-2489470	20030613
AU 2003242386	A1	20031231	AU 2003-242386	20030613
JP 2004307457	A	20041104	JP 2003-169308	20030612
EP 1514870	A1	20050216	EP 2003-733425	20030613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678215	A	20051005	CN 2003-818895	20030613
ZA 2005000090	A	20060726	ZA 2005-90	20050105
US 20060293371	A1	20061229	US 2005-517847	20050624
PRIORITY APPLN. INFO.:			JP 2002-175086	A 20020614
			JP 2003-41085	A 20030219
			WO 2003-JF7545	W 20030613

OTHER SOURCE(S): MARPAT 140:42180  
 IT 636565-78-1E  
 RL: PRC (Pharmacological activity); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (Preparation of nitrogenous heterocycle prodrugs having  
 N-(acyloxyethyl)-N-methylcarbamoyl groups)

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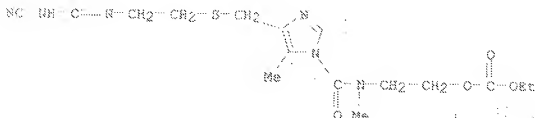
RN 636565-78-1 CAPLUS

CN Carbonic acid,

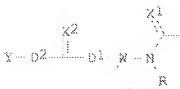
2-[[[4-[[[2-[(cyanoamino)(methylamino)methylene]amino]ethy  
1]thio[methyl]-5-methyl-1H-imidazol-1-yl]carbonyl)methylamino]ethyl

meter (9CI) (CA INDEX NAME)

NHMe



GI



AE Disclosed is a compound having a group represented by the formula (I)  
(X1,

X2 = O, S; W = (un)substituted bivalent hydrocarbon chain, -W1-2-W2-;  
wherein W1, W2 = bivalent hydrocarbon chain, a bond; 2 =

(un)substituted

bivalent hydrocarbon ring or heterocyclic ring, O, S, SO, SO<sub>2</sub>.

(un)substituted NH; provided that when Z = O, S, SO, SO<sub>2</sub>, or

(un)substituted NH, then W1, W2 = bivalent hydrocarbon chain; R = H,

(un)substituted hydrocarbon group or heterocyclic ring; or R is not H,

R

may be linked to W; D1, D2 = a bond, O, S, (un)substituted NH; Y =  
(un)substituted hydrocarbyl or heterocyclyl as a modifying group to be  
eliminated from a prodrug. It enables prodrug development based on the  
modification of a nitrogenous heterocycle, etc., with

N-(2-acyloxyethyl)-N-methylcarbamoyl groups. For example, 3'-azido-3'-deoxythymidine  
(zidovudine), N-cyano-N'-methyl-N''-(2-[(4-methyl-5-imidazolyl)-  
methylthio]ethyl]guanidine (cimetidine), (R)-2-[[[3-methyl-4-(2,2,2-  
trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole  
[(R)-(+)-lansoprazole], 2-[[[(3,5-dimethyl-4-methoxy-2-  
pyridyl)methyl]sulfinyl]-5-methoxy-1H-benzimidazole (omeprazole),

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2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridyl]methyl]sulfinyl]benzimidazole (rabeprazole), 5-(difluoromethoxy)-2-[[[3,4-dimethoxy-2-pyridyl]methyl]sulfinyl]-1H-benzimidazole (pantoprazole), or 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl]methyl]sulfinyl]-1H-imidazo[4,5-b]pyridine (tenatoprazole) were modified by one of CONMeCH<sub>2</sub>CH<sub>2</sub>OCO<sub>2</sub>Et, CONMeCH<sub>2</sub>CH<sub>2</sub>OAc, and CONMeCH<sub>2</sub>CH<sub>2</sub>OCO<sub>2</sub>-(tetrahydropyranyl-4-yl) groups.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.93	184.50

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.80	-0.80

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